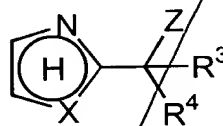


We Claim:

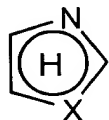
1. A method of synthesizing highly substituted azole compounds having the general formula (Ia):



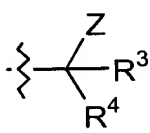
(Ia)

wherein

X is selected from the group consisting of NH,  $\text{NR}^A$  and S;



represents a 5 membered aromatic ring structure; optionally containing one to two additional heteroatoms selected from the group consisting of N, O and S; provided that the additional heteroatoms are not at the attachment point

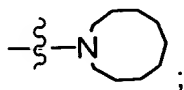
of the  group;

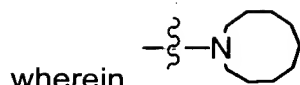
provided that the 5 membered ring remains aromatic in nature;

wherein the 5 membered ring is optionally substituted with one to three substituents independently selected from the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, alkenyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro,  $-\text{COOR}$ ,  $-\text{COR}$ ,  $-\text{SO}_2\text{R}$  and  $-\text{CONR}^B\text{R}^C$ ; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

Z is selected from the group consisting of  $-\text{OR}^A$ ,  $-\text{NR}^A\text{R}^B$ ,  $-\text{N}(\text{R}^A)\text{OR}^B$ , -

$\text{SR}$ ,  $-\text{CN}$ ,  $-\text{N}_3$  and

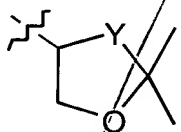




represents a three to eight membered heterocyclyl group bound at the N atom, wherein the heterocyclyl group is saturated, partially unsaturated or aromatic; when the heterocyclyl group is a saturated six to eight membered heterocyclyl, the heterocyclyl group may optionally contains a group selected from O, CHR, NR, S, SO, or SO<sub>2</sub>, provided that that the group is separated from the N atom by at least two carbon atoms; and wherein the heterocyclyl group is optionally substituted with one or more substituents independently selected from R;

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, aralkyl, cycloalkyl, fluorinated alkyl, -COR, -COOR and -CONR<sup>C</sup>R<sup>D</sup>; wherein the aralkyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono- or di-substituted amino, cyano or nitro;

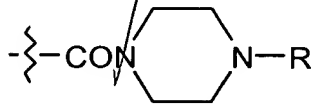
R<sup>4</sup> is selected from the group consisting of, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl, alkenyl, alkynyl, -COOR, -COR, -CONR<sup>C</sup>R<sup>D</sup>, -alkyl-COOR,



heterocyclyl and ; wherein the alkyl, alkenyl, alkynyl, aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, aryl, amino, mono- or di-substituted amino, cyano or nitro; and where Y is selected from the group consisting of O, S and NR<sup>A</sup>;

where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono- or di-substituted amino, cyano or nitro;

where R<sup>A</sup> and R<sup>B</sup> are independently selected from the group consisting of hydrogen, -R, -COOR, -COR, -SO<sub>2</sub>R, -SOR and -CONR<sup>C</sup>R<sup>D</sup> and



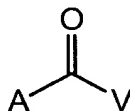
where  $R^C$  and  $R^D$  are independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono- or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

which method comprises reacting a compound of formula (III)



(III)

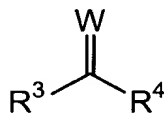
with a compound of formula (IV)



(IV)

wherein A is selected from F, Cl, Br or  $-\text{OC}(\text{O})\text{-t-butyl}$ , and wherein V is a sterically hindered group, in a non-protic solvent;

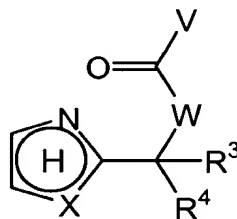
and then reacting with a compound of formula (V)



(V)

wherein W is selected from the group consisting of  $-\text{O}$ ,  $-\text{NSO}_2\text{R}$ ,  $-\text{NSOR}$ ,  $-\text{NCOR}$ ,  $-\text{NCOOR}$ ,  $-\text{NCOR}^C\text{R}^D$  and  $-\text{NR}$

to form the corresponding compound of formula (Ic)



(Ic)

Sub  
A  
H  
On

and optionally reacting the compound of formula (Ic) with a compound of formula (VI)

Z-H

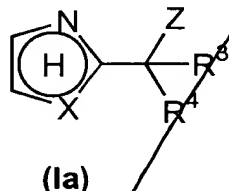
(VI)

wherein Z is as previously defined, to yield the corresponding compound of formula (Ia).

2. The process of Claim 1 wherein V is selected from the group consisting of t-butyl, O-t-butyl, O-isopropyl, O-adamantyl, adamantyl, N(alkyl)<sub>2</sub>, N(aryl)<sub>2</sub>, 2,6-dimethylphenyl, 2,6-disubstituted phenyl.

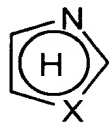
3. The process of Claim 1 wherein the non-protic solvent is selected from the group consisting of acetonitrile, dioxane and THF.

4. A process for preparing a compound of general formula (Ia):



wherein

X is selected from the group consisting of NH, NR<sup>A</sup> and S;



represents a 5 membered aromatic ring structure; optionally containing one to two additional heteroatoms selected from the group consisting of N, O and S;

provided that the additional heteroatoms are not at the attachment point

of the group;

provided that the 5 membered ring remains aromatic in nature;

wherein the 5 membered ring is optionally substituted with one to three substituents independently selected from the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, alkenyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are

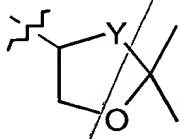
independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

10 Z is hydrogen;

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, aralkyl, cycloalkyl, fluorinated alkyl, -COR, -COOR and -CONR<sup>C</sup>R<sup>D</sup>; wherein the aralkyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

15

R<sup>4</sup> is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl, alkenyl, alkynyl, -COOR, -COR, -CONR<sup>C</sup>R<sup>D</sup>, -alkyl-COOR,



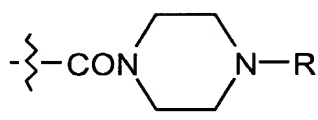
heterocyclyl and ; wherein the alkyl, alkenyl, alkynyl, aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, aryl, amino, mono-or di-substituted amino, cyano or nitro; and where Y is selected from the group consisting of O, S and NR<sup>A</sup>;

20

where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

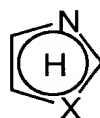
25

where  $R^A$  and  $R^B$  are independently selected from the group consisting of hydrogen, -R, -COOR, -COR, -SO<sub>2</sub>R, -SOR and -CONR<sup>C</sup>R<sup>D</sup> and



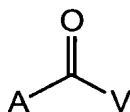
where  $R^C$  and  $R^D$  are independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono- or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

which method comprises reacting a compound of formula (III)



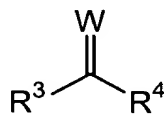
(III)

with a compound of formula (IV)



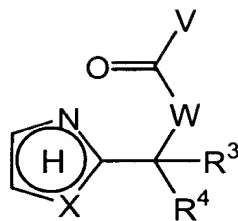
(IV)

wherein A is selected from F, Cl, Br or -OC(O)-t-butyl, and wherein V is a sterically hindered group, in a non-protic solvent; and then reacting with a compound of formula (V)



(V)

wherein W is selected from the group consisting of O, NSO<sub>2</sub>R, -NSOR, -NCOR, -NCOOR, -NCOR<sup>C</sup>R<sup>D</sup>, -NOCOR and NR to form the corresponding compound of formula (Ic)

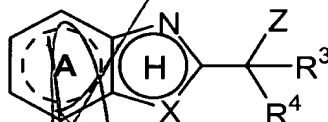


(Ic)

and reacting the compound of formula (Ic) with hydrogen gas, in the presence of a metal catalyst, to yield the corresponding compound of formula (Ia).

5

5. A method of synthesizing highly substituted azole compounds having the general formula (IIa):

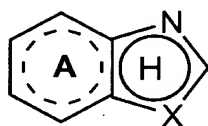


(IIa)

wherein

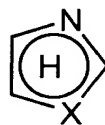
10

X is selected from the group consisting of NH, NR<sup>A</sup> and S;



represents a 9 membered ring structure, wherein the five

membered portion of the ring structure -



- is aromatic and the six

membered portion of the ring structure -  
unsaturated, or aromatic;



- is saturated, partially

15

wherein the 5 membered portion of the ring structure is optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, alkyl, alkenyl, halogenated alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents

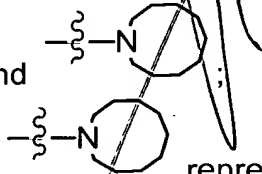
are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

5 wherein the 6-membered portion of the ring structure may further optionally containing one to four additional heteroatoms selected from the group consisting of N, O and S;

wherein the 6-membered portion of the ring structure may further be optionally substituted with one to four substituents independently selected from  
10 the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or  
15 more substituent independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

Z is selected from the group consisting of -OR<sup>A</sup>, -NR<sup>A</sup>R<sup>B</sup>, -N(R<sup>A</sup>)OR<sup>B</sup>, -

SR, -CN, -N<sub>3</sub> and



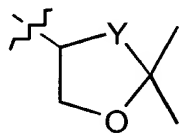
wherein represents a three to eight membered heterocyclyl

20 group bound at the N atom, wherein the heterocyclyl group is saturated, partially unsaturated or aromatic; when the heterocyclyl group is a saturated six to eight membered heterocyclyl, the heterocyclyl group may optionally contains a group selected from O, CHR, NR, S, SO, or SO<sub>2</sub>, provided that that the group is separated from the N atom by at least two carbon atoms; and wherein the  
25 heterocyclyl group is optionally substituted with one or more substituents independently selected from R;

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, aralkyl, cycloalkyl, fluorinated alkyl, -COR, -COOR and -CONR<sup>C</sup>R<sup>D</sup>; wherein the aralkyl may be optionally substituted with one or more substituents independently  
30 selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;



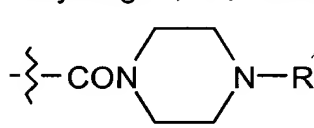
$R^4$  is selected from the group consisting of, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl, alkenyl, alkynyl,  $-\text{COOR}$ ,  $-\text{COR}$ ,  $-\text{CONR}^C\text{R}^D$ ,  $-\text{alkyl-COOR}$ ,



heterocyclyl and ; wherein the alkyl, alkenyl, alkynyl, aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, aryl, amino, mono-or di-substituted amino, cyano or nitro; and where Y is selected from the group consisting of O, S and  $\text{NR}^A$ ;

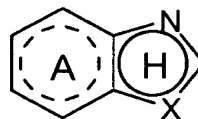
where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

where  $R^A$  and  $R^B$  are independently selected from the group consisting of hydrogen,  $-\text{R}$ ,  $-\text{COOR}$ ,  $-\text{COR}$ ,  $-\text{SO}_2\text{R}$ ,  $-\text{SOR}$  and  $-\text{CONR}^C\text{R}^D$  and



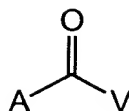
where  $R^C$  and  $R^D$  are independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

which method comprises reacting a compound of formula (VII)



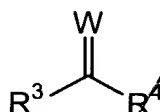
(VII)

with a compound of formula (IV)



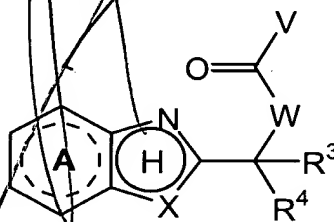
(IV)

wherein A is selected from F, Cl, Br or OC(O)-t-butyl, and wherein V is a sterically hindered group, in a non-protic solvent;  
and then reacting with a compound of formula (V)



(V)

wherein W is selected from the group consisting of O, NSO<sub>2</sub>R, -NSOR, -NCOR, -NCOOR, -NCOR<sup>C</sup>R<sup>D</sup>, -NOGOR and NR  
to form the corresponding compound of formula (IIc)



(IIc)

and optionally reacting the compound of formula (IIc) with a compound of formula (VI)



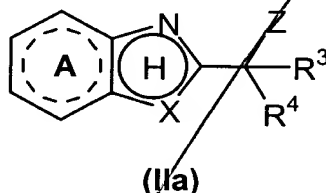
(VI)

wherein Z is as previously defined, to yield the corresponding compound of formula (IIa).

6. The process of Claim 5 wherein V is selected from the group consisting of t-butyl, O-t-butyl, O-isopropyl and O-adamantyl, adamantyl, N(alkyl)<sub>2</sub>, N(aryl)<sub>2</sub>, 2,6-dimethylphenyl, 2,6-disubstituted phenyl.

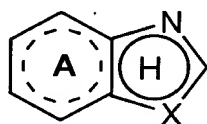
7. The process of Claim 5 wherein the non-protic solvent is selected from the group consisting of acetonitrile, dioxane and THF.

8. A method of synthesizing highly substituted azole compounds having the general formula (IIa):

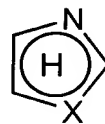


wherein

X is selected from the group consisting of NH, NR<sup>A</sup> and S;



represents a 9 membered ring structure, wherein the five membered portion of the ring structure -



- is aromatic and the six

membered portion of the ring structure - unsaturated, or aromatic;



- is saturated, partially

wherein the 5 membered portion of the ring structure is optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, alkyl, alkenyl, halogenated alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

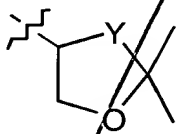
wherein the 6-membered portion of the ring structure may further optionally containing one to four additional heteroatoms selected from the group consisting of N, O and S;

wherein the 6-membered portion of the ring structure may further be optionally substituted with one to four substituents independently selected from the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

10 Z is hydrogen;

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, aralkyl, cycloalkyl, fluorinated alkyl, -COR, -COOR and -CONR<sup>C</sup>R<sup>D</sup>; wherein the aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

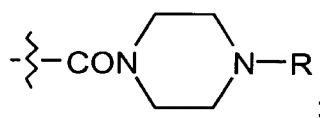
15 R<sup>4</sup> is selected from the group consisting of, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl, alkenyl, alkynyl, -COR, -COOR, -CONR<sup>C</sup>R<sup>D</sup>, -alkyl-COOR,



heterocyclyl and ; wherein the alkyl, alkenyl, alkynyl, aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, aryl, amino, mono-or di-substituted amino, cyano or nitro; and where Y is selected from the group consisting of O, S and NR<sup>A</sup>;

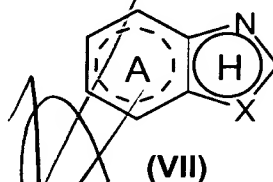
20 where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

where  $R^A$  and  $R^B$  are independently selected from the group consisting of hydrogen, -R, -COOR, -COR, -SO<sub>2</sub>R, -SOR and -CONR<sup>C</sup>R<sup>D</sup> and

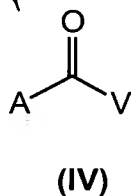


where  $R^C$  and  $R^D$  are independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono- or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

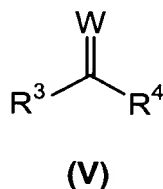
which method comprises reacting a compound of formula (VII)



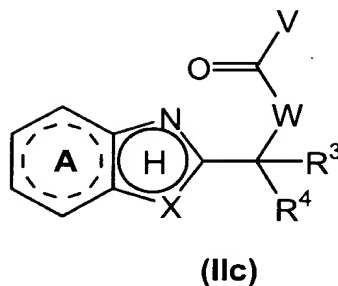
with a compound of formula (IV)



wherein A is selected from F, Cl, Br or OC(O)-t-butyl, and wherein V is a sterically hindered group, in a non-protic solvent; and then reacting with a compound of formula (V)



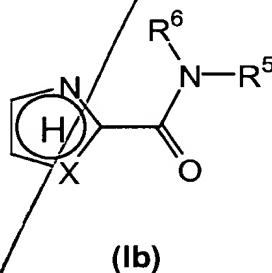
wherein W is selected from the group consisting of O, NSO<sub>2</sub>R, -NSOR, -NCOR, -NCOOR, -NCOR<sup>C</sup>R<sup>D</sup>, -NOCOR and NR, to form the corresponding compound of formula (IIc)



and optionally reacting the compound of formula (IIc) with hydrogen gas, in the presence of a metal catalyst, to yield the corresponding compound of formula (IIa).

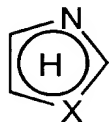
5

9. A method for preparing compounds of the formula (Ib)



wherein

X is selected from the group consisting of NH, NR<sup>A</sup> and S;



10

represents a 5 membered aromatic ring structure; optionally containing one to two additional heteroatoms selected from the group consisting of N, O and S;

provided that the additional heteroatoms are not at the attachment point of the -C(O)NR<sup>5</sup>R<sup>6</sup> group;

15

provided that the 5 membered ring remains aromatic in nature;

wherein the 5 membered ring is optionally substituted with one to three substituents independently selected from the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, alkenyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the

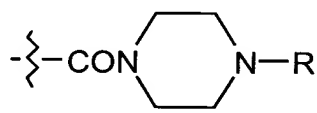
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cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

- 5  $R^5$  is selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocyclyl; wherein the aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

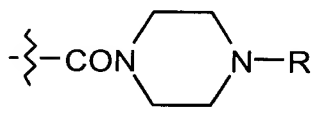
$R^6$  is selected from the group consisting of hydrogen, alkyl, aralkyl,

- 10 cycloalkyl,  $-\text{COOR}$ ,  $-\text{COR}$ ,  $-\text{SO}_2\text{R}$ ,  $-\text{CONR}^{\text{C}}\text{R}^{\text{D}}$  and



where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

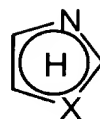
- 15 where  $R^{\text{A}}$  and  $R^{\text{B}}$  are independently selected from the group consisting of hydrogen,  $-\text{R}$ ,  $-\text{COOR}$ ,  $-\text{COR}$ ,  $-\text{SO}_2\text{R}$ ,  $-\text{SOR}$  and  $-\text{CONR}^{\text{C}}\text{R}^{\text{D}}$  and



- 20 where  $R^{\text{C}}$  and  $R^{\text{D}}$  are independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

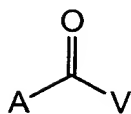
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which method comprises reacting a compound of formula (III)



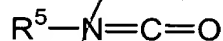
(III)

with a compound of formula (IV)



(IV)

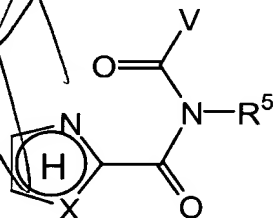
wherein A is selected from F, Cl, Br or OC(O)-t-butyl, and wherein V is a  
 5 sterically hindered group, in a non-protic solvent;  
 and then reacting with a compound of formula (VIII)



(VIII)

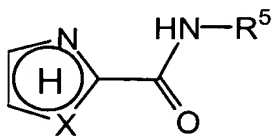
wherein  $R^5$  is as previously defined, to yield the compound of formula

(Id)



(Id)

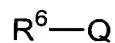
10 reacting the compound of formula (I) with an inorganic base to yield the  
 compound of formula (Ie)



(Ie)

15 optionally reacting the compound of formula (Ie) with a compound of  
 formula (IX)





(IX)

wherein Q is selected from the group consisting of chlorine, bromine and iodine, in the presence of a base, to yield the corresponding compound of formula (Ib).

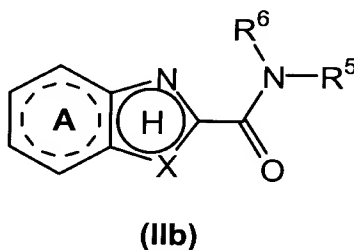
5

10. The process of Claim 9 wherein V is selected from the group consisting of t-butyl, O-t-butyl, O-isopropyl, O-adamantyl, adamantyl, N(alkyl)<sub>2</sub>, N(aryl)<sub>2</sub>, 2,6-dimethylphenyl, 2,6-disubstituted phenyl.

10

11. The process of Claim 9 wherein the non-protic solvent is selected from the group consisting of acetonitrile, dioxane and THF.

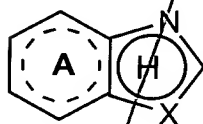
12. A method for preparing compounds of the formula (IIb)



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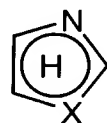
wherein

X is selected from the group consisting of NH, NR<sup>A</sup> and S;



represents a 9 membered ring structure, wherein the five

membered portion of the ring structure -



- is aromatic and the six

membered portion of the ring structure -



- is saturated, partially

20

unsaturated, or aromatic;

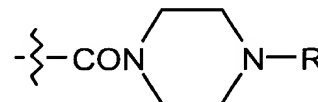
wherein the 5 membered portion of the ring structure is optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, alkyl, alkenyl, halogenated alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

wherein the 6-membered portion of the ring structure may further optionally containing one to four additional heteroatoms selected from the group consisting of N, O and S;

wherein the 6-membered portion of the ring structure may further be optionally substituted with one to four substituents independently selected from the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

R<sup>5</sup> is selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocyclyl; wherein the aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

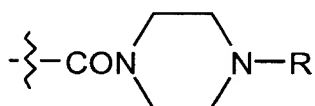
R<sup>6</sup> is selected from the group consisting of hydrogen, alkyl, aralkyl, cycloalkyl, -COOR, -COR, -SO<sub>2</sub>R, -CONR<sup>C</sup>R<sup>D</sup> and



where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more

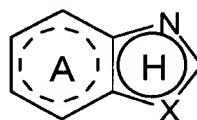
substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

where  $R^A$  and  $R^B$  are independently selected from the group consisting of hydrogen, -R, -COOR, -COR, -SO<sub>2</sub>R, -SOR and -CONR<sup>C</sup>R<sup>D</sup> and



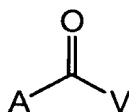
where  $R^C$  and  $R^D$  are independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

which method comprises reacting a compound of formula (VII)



(VII)

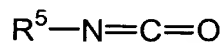
15 with a compound of formula (IV)



(IV)

wherein A is selected from F, Cl, Br or OC(O)-t-butyl, and wherein V is a sterically hindered group, in a non-protic solvent;

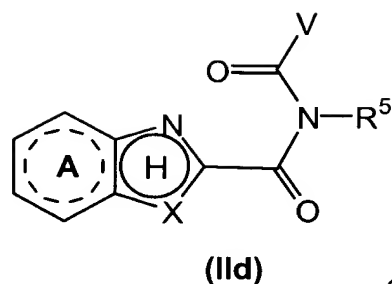
and then reacting with a compound of formula (VIII)



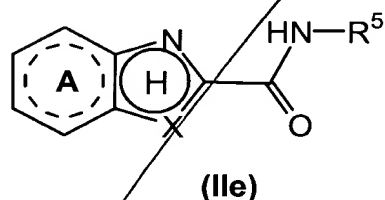
(VIII)

20 wherein  $R^5$  is as previously defined, to yield the compound of formula

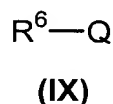
(IIId)



reacting the compound of formula (IId) with an inorganic base to yield the compound of formula (IIe)



optionally reacting the compound of formula (IIe) with a compound of formula (IX)



wherein Q is selected from the group consisting of chlorine, bromine and iodine, in the presence of a base, to yield the corresponding compound of formula (IIb).

13. The process of Claim 9 wherein V is selected from the group consisting of t-butyl, O-t-butyl, O-isopropyl, O-adamantyl, adamantyl, N(alkyl)<sub>2</sub>, N(aryl)<sub>2</sub>, 2,6-dimethylphenyl, 2,6-disubstituted phenyl.

14. The process of Claim 9 wherein the non-protic solvent is selected from the group consisting of acetonitrile, dioxane and THF.

15. A chemical library comprising a plurality of substituted azoles compounds prepared by the method of Claim 1.

16. A chemical library comprising a plurality of substituted azoles compounds prepared by the method of Claim 4.

17. A chemical library comprising a plurality of substituted azoles compounds prepared by the method of Claim 5.

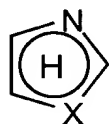
5 18. A chemical library comprising a plurality of substituted azoles compounds prepared by the method of Claim 8.

19. A chemical library comprising a plurality of substituted azoles compounds prepared by the method of Claim 9.

10

20. A chemical library comprising a plurality of substituted azoles compounds prepared by the method of Claim 12.

21. The process of Claim 1 wherein



15

is selected from the group consisting of imidazolyl, substituted imidazolyl (wherein the substituents on the imidazolyl group are one or more independently selected from halogen, alkyl, aryl, aralkyl, cycloalkyl, or alkoxy carbonyl,  $-\text{C}(\text{O})\text{N}(\text{alkyl})_2$ ), thiazolyl, substituted thiazolyl (wherein the substituents on the thiazolyl group are one or more independently selected from alkyl and alkenyl), 2-aralkyl-substituted-4H-1,2,4-triazolyl and 4-aralkyl substituted-4H-1,2,4-triazolyl;

20

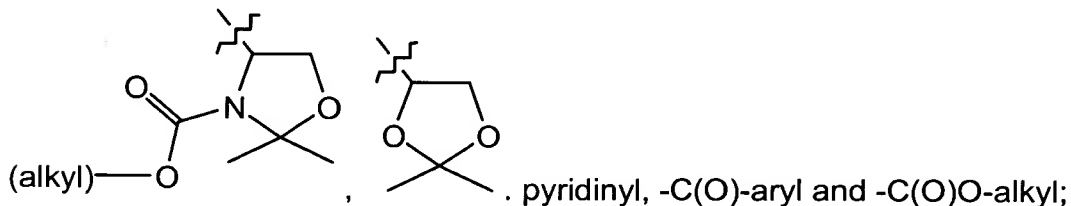
Z is selected from the group consisting of  $-\text{OC}(\text{O})\text{N}(\text{alkyl})_2$ ,  $-\text{N}(\text{aryl})\text{C}(\text{O})\text{N}(\text{alkyl})_2$ ,  $-\text{N}(\text{aralkyl})\text{C}(\text{O})\text{N}(\text{alkyl})_2$ ,  $-\text{N}(\text{aralkyl})\text{C}(\text{O})\text{O}(\text{alkyl})$ ,  $-\text{N}(\text{aralkyl})\text{C}(\text{O})\text{O}$ -adamantyl,  $-\text{N}(\text{SO}_2\text{aryl})\text{C}(\text{O})\text{N}(\text{alkyl})_2$ ,  $-\text{N}(\text{SO}_2\text{aryl})\text{C}(\text{O})\text{O}(\text{alkyl})$ ,  $-\text{N}(\text{SO}_2\text{alkyl substituted aryl})\text{C}(\text{O})\text{O}(\text{alkyl})$ ,  $-\text{N}(\text{C}(\text{O})\text{N}(\text{alkyl})_2)\text{OC}(\text{O})(\text{alkyl})$ ,  $-\text{OC}(\text{O})\text{O}(\text{alkyl})$ ,  $-\text{OC}(\text{O})(\text{aryl})$ ,  $-\text{OH}$ ,  $-\text{alkoxy}$ ,  $-\text{N}_3$ ,  $-\text{NHC}(\text{O})$ -alkyl,  $-\text{NH}(\text{alkyl})$ ,  $-\text{NH}(\text{hydroxy substituted alkyl})$ ,  $-\text{NH}(\text{alkoxy})$ ,  $-\text{NH}(\text{aryl})$ ,  $-\text{NH}(\text{aralkyl})$ ,  $-\text{NH}(\text{heterocyclyl})$ ,  $-\text{NHSO}_2$ -alkyl,  $-\text{SH}$ -aryl,  $-\text{SH}$ -alkyl,  $-\text{SH}(\text{amino substituted alkyl})$  and heterocyclyl;

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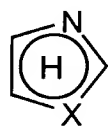
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$\text{R}^3$  is selected from the group consisting of hydrogen, alkyl, trifluoromethyl and  $-\text{C}(\text{O})\text{O}$ -alkyl;

and  $R^4$  is selected from the group consisting of alkyl, alkenyl, cycloalkyl, aryl, substituted aryl (where the aryl substituent is selected from halogen, alkyl, alkoxy, nitro, amino, alkylamino or dialkylamino), aralkyl,  $-(\text{alkyl})-\text{C}(\text{O})\text{O}-(\text{alkyl})$ ,



22. The process of Claim 21 wherein

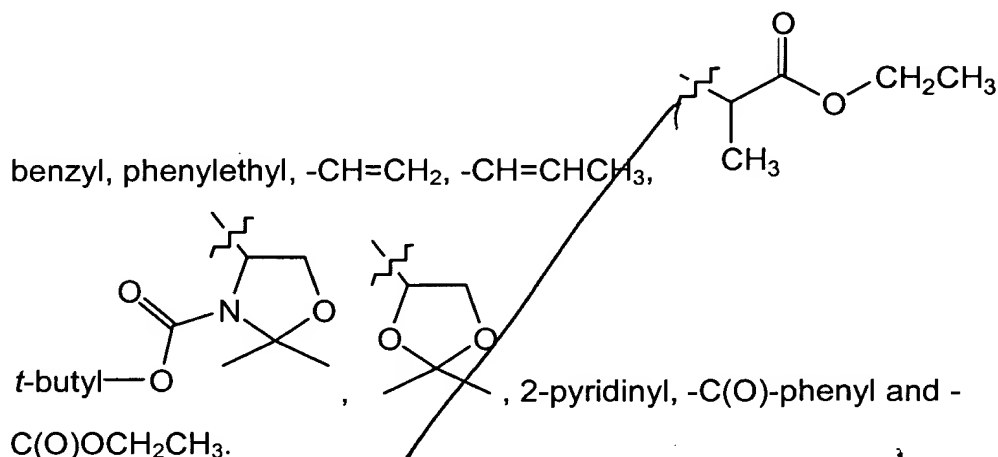


is selected from the group consisting of 1-imidazolyl, 1-methyl-imidazolyl, 1-phenyl-imidazolyl, 1-benzyl-imidazolyl, 1-(di(*i*-propyl)aminocarbonyl)-imidazolyl, 1-methyl-5-chloro-imidazolyl, 1-methyl-4,5-dichloro-imidazolyl, 1-methyl-5-methoxycarbonyl-imidazolyl, thiazolyl, 4,5-dimethyl-thiazolyl, 4-methyl-5-vinyl-thiazolyl, 2-benzyl-4H-1,2,4-triazolyl and 4-benzyl-4H-1,2,4-triazolyl;

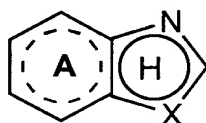
Z is selected from the group consisting of  $-\text{OC}(\text{O})\text{N}(\text{methyl})_2$ ,  $-\text{OC}(\text{O})\text{N}(\text{ethyl})_2$ ,  $-\text{OC}(\text{O})\text{N}(\text{i-propyl})_2$ ,  $-\text{N}(\text{phenyl})\text{C}(\text{O})\text{N}(\text{i-propyl})_2$ ,  $-\text{N}(\text{benzyl})\text{C}(\text{O})\text{O}$ -*t*-butyl,  $-\text{N}(\text{benzyl})\text{C}(\text{O})\text{O}$ -adamantyl,  $\text{N}(\text{benzyl})\text{C}(\text{O})\text{N}(\text{i-propyl})_2$ ,  $-\text{N}(\text{SO}_2\text{-phenyl})\text{C}(\text{O})\text{N}(\text{i-propyl})_2$ ,  $-\text{N}(\text{SO}_2\text{phenyl})\text{C}(\text{O})\text{O}$ -*t*-butyl,  $-\text{N}(\text{SO}_2\text{-p-toluenyl})\text{C}(\text{O})\text{O}$ -*t*-butyl,  $-\text{N}(\text{C}(\text{O})\text{N}(\text{i-propyl})_2)\text{OC}(\text{O})\text{methyl}$ ,  $-\text{OC}(\text{O})\text{O}(\text{t-butyl})$ ,  $-\text{OC}(\text{O})(\text{phenyl})$ ,  $-\text{OH}$ ,  $-\text{OCH}_3$ ,  $-\text{OCH}_2\text{CH}_3$ ,  $-\text{N}_3$ ,  $-\text{NH}-\text{C}(\text{O})\text{CH}_3$ ,  $-\text{NH}-\text{SO}_2\text{CH}_3$ ,  $-\text{NH}-\text{OCH}_3$ ,  $-\text{NH}-\text{CH}_2\text{CH}_2\text{OH}$ ,  $-\text{NH}-\text{phenyl}$ ,  $-\text{NH}-\text{benzyl}$ ,  $-\text{NH}-\text{pyridin-2-yl}$ ,  $-\text{S}-\text{phenyl}$ ,  $-\text{S}-\text{CH}_2\text{CH}_2\text{NH}_2$ , morpholin-1-yl, piperidin-1-yl, 4-methyl-piperazin-1-yl and imidazol-1-yl;

$R^3$  is selected from the group consisting of hydrogen, methyl, trifluoromethyl and  $-\text{C}(\text{O})\text{OCH}_2\text{CH}_3$ ;

and  $R^4$  is selected from the group consisting of methyl, ethyl, *t*-butyl, *i*-propyl, cyclohexyl, phenyl, 4-methoxyphenyl, 4-chlorophenyl, 4-nitrophenyl,

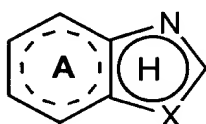


- 5 23. The process of Claim 5 wherein



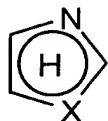
is selected from the group consisting of 1-substituted-benzimidazolyl (where the substituent on the benzimidazolyl group is selected from H, alkyl, aryl, aralkyl, cycloalkyl or  $-\text{C}(\text{O})\text{N}(\text{alkyl})_2$ ), and benzthiazolyl;  
 Z is selected from the group consisting of  $-\text{OH}$  and  $-\text{OC}(\text{O})\text{N}(\text{alkyl})_2$ ;  
 10  $\text{R}^3$  is hydrogen;  
 and  $\text{R}^4$  is aryl.

24. The process of Claim 23 wherein



is selected from the group consisting of 1-methyl-benzimidazolyl and benzthiazolyl;  
 15 Z is selected from the group consisting of  $-\text{OH}$ ,  $-\text{OC}(\text{O})\text{N}(\text{methyl})_2$  and  $-\text{OC}(\text{O})\text{N}(\text{i-propyl})_2$ ;  
 $\text{R}^3$  is hydrogen;  
 and  $\text{R}^4$  is phenyl.

- 20 25. The process of Claim 9 wherein

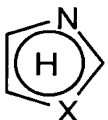


is selected from the group consisting of 1-substituted imidazolyl (where the substituent on the imidazolyl group is selected from H, alkyl, aryl, aralkyl, cycloalkyl or  $-C(O)N(alkyl)_2$ ) and thiazolyl;

$R^5$  is selected from the group consisting of aryl, alkyl, aralkyl and  
5 cycloalkyl;

and  $R^6$  is selected from the group consisting of  $-C(O)N(alkyl)_2$ .

26. The process of Claim 25 wherein

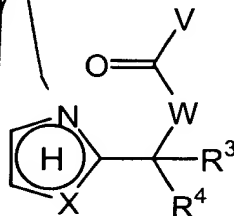


is 1-benzyl-imidazolyl;

$R^5$  is phenyl;

and  $R^6$  is  $-C(O)N(i\text{-propyl})_2$ .

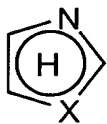
27. A process for preparing a compound of general formula (Ic):



(Ic)

15 wherein

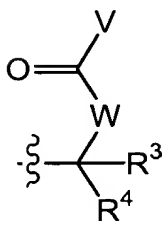
X is selected from the group consisting of NH,  $NR^A$  and S;



represents a 5 membered aromatic ring structure; optionally containing one to two additional heteroatoms selected from the group consisting of N, O and S;



provided that the additional heteroatoms are not at the attachment point



of the group;

provided that the 5 membered ring remains aromatic in nature;

wherein the 5 membered ring is optionally substituted with one to three

5 substituents independently selected from the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, alkenyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are

10 independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

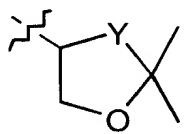
W is selected from the group consisting of -O, -NSO<sub>2</sub>R, -NSOR, -NCOR, -NCOOR, -NCONR<sup>C</sup>R<sup>D</sup>, -NOCOR and -NR;

15 V is selected from the group consisting of t-butyl, adamantyl, -N(alkyl)<sub>2</sub>, -N(aryl)<sub>2</sub>, 2,6-dimethylphenyl, 2,6-disubstituted phenyl (wherein the substituents are selected from halogen, alkyl or alkoxy), -O-t-butyl, -O-l-propyl and -O-adamantyl;

20 R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, aralkyl, cycloalkyl, fluorinated alkyl, -COR, -COOR and -CONR<sup>C</sup>R<sup>D</sup>; wherein the aralkyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

25 R<sup>4</sup> is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl, alkenyl, alkynyl, -COOR, -COR, -CONR<sup>C</sup>R<sup>D</sup>, -alkyl-COOR,

heterocyclyl and

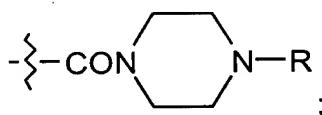


; wherein the alkyl, alkenyl, alkynyl, aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents

independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, aryl, amino, mono-or di-substituted amino, cyano or nitro; and where Y is selected from the group consisting of O, S and  $\text{NR}^A$ ;

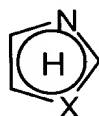
where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

where  $\text{R}^A$  and  $\text{R}^B$  are independently selected from the group consisting of hydrogen, -R, -COOR, -COR, -SO<sub>2</sub>R, -SOR and -CONR<sup>C</sup>R<sup>D</sup> and



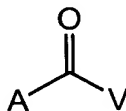
where  $\text{R}^C$  and  $\text{R}^D$  are independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

which method comprises reacting a compound of formula (III)



(III)

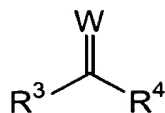
with a compound of formula (IV)



(IV)

wherein A is selected from F, Cl, Br or -OC(O)-t-butyl, and wherein V is a sterically hindered group, in a non-protic solvent;

and then reacting with a compound of formula (V)

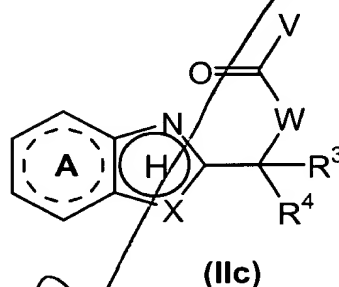


(V)

wherein W is selected from the group consisting of O, NSO<sub>2</sub>R, -NSOR, -NCOR, -NCOOR, -NCOR<sup>C</sup>R<sup>D</sup>, -NOCOR and NR to form the corresponding compound of formula (Ic).

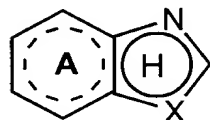
5

28. A process for preparing a compound of the general formula (Iic)



wherein

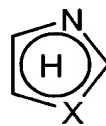
X is selected from the group consisting of NH, NR<sup>A</sup> and S;



10

represents a 9 membered ring structure, wherein the five

membered portion of the ring structure -



- is aromatic and the six

membered portion of the ring structure -



- is saturated, partially

unsaturated, or aromatic;

15

wherein the 5 membered portion of the ring structure is optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, alkyl, alkenyl, halogenated alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the

cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

wherein the 6-membered portion of the ring structure may further  
 5 optionally containing one to four additional heteroatoms selected from the group consisting of N, O and S;

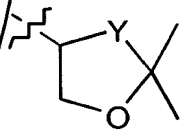
wherein the 6-membered portion of the ring structure may further be optionally substituted with one to four substituents independently selected from the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, cycloalkyl,  
 10 alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent independently selected from halogen, hydroxy, alkyl,  
 15 halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

W is selected from the group consisting of -O, -NSO<sub>2</sub>R, -NSOR, -NCOR, -NCOOR, -NCONR<sup>C</sup>R<sup>D</sup>, -NOCOR and -NR;

V is selected from the group consisting of t-butyl, adamantyl, -N(alkyl)<sub>2</sub>, -N(aryl)<sub>2</sub>, 2,6-dimethylphenyl, 2,6-disubstituted phenyl (wherein the substituents  
 20 are selected from halogen, alkyl or alkoxy), -O-t-butyl, -O-I-propyl and -O-adamantyl;

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, aralkyl, cycloalkyl, fluorinated alkyl, -COR, -COOR and -CONR<sup>C</sup>R<sup>D</sup>; wherein the aryl, aralkyl or heterocyclyl may be optionally substituted with one or more  
 25 substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

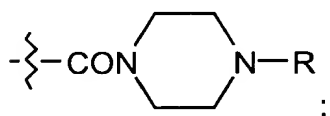
R<sup>4</sup> is selected from the group consisting of, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl, alkenyl, alkynyl, -COR, -COOR, -CONR<sup>C</sup>R<sup>D</sup>, -alkyl-COOR,

heterocyclyl and ; wherein the alkyl, alkenyl, alkynyl, aryl, aralkyl  
 30 or heterocyclyl may be optionally substituted with one or more substituents

independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, aryl, amino, mono-or di-substituted amino, cyano or nitro; and where Y is selected from the group consisting of O, S and  $\text{NR}^{\text{A}}$ ;

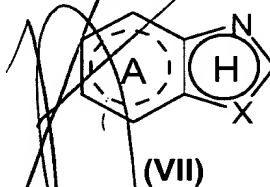
where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

where  $\text{R}^{\text{A}}$  and  $\text{R}^{\text{B}}$  are independently selected from the group consisting of hydrogen, -R, -COOR, -COR, -SO<sub>2</sub>R, -SOR and -CONR<sup>C</sup>R<sup>D</sup> and

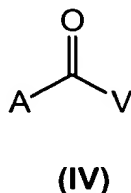


where  $\text{R}^{\text{C}}$  and  $\text{R}^{\text{D}}$  are independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

which method comprises reacting a compound of formula (VII)

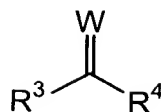


with a compound of formula (IV)



wherein A is selected from F, Cl, Br or OC(O)-t-butyl, and wherein V is a sterically hindered group, in a non-protic solvent;

and then reacting with a compound of formula (V)

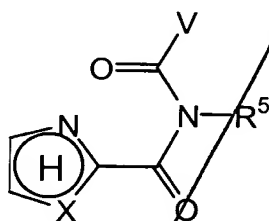


(V)

wherein W is selected from the group consisting of O,  $\text{MSO}_2\text{R}$ ,  $-\text{NSOR}$ ,  $-\text{NCOR}$ ,  $-\text{NCOOR}$ ,  $-\text{NCOR}^{\text{C}}\text{R}^{\text{D}}$ ,  $-\text{NOCOR}$  and  $\text{NR}$ , to form the corresponding compound of formula (IIc).

5

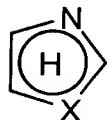
29. A method for preparing compounds of the formula (Id)



(Id)

wherein

X is selected from the group consisting of  $\text{NH}$ ,  $\text{NR}^{\text{A}}$  and  $\text{S}$ ;



10

represents a 5 membered aromatic ring structure; optionally containing one to two additional heteroatoms selected from the group consisting of N, O and S;

provided that the additional heteroatoms are not at the attachment point of the  $-\text{C}(\text{O})\text{NR}^5\text{R}^6$  group;

15

provided that the 5 membered ring remains aromatic in nature;

wherein the 5 membered ring is optionally substituted with one to three substituents independently selected from the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, alkenyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro,  $-\text{COOR}$ ,  $-\text{COR}$ ,  $-\text{SO}_2\text{R}$  and  $-\text{CONR}^{\text{B}}\text{R}^{\text{C}}$ ; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or

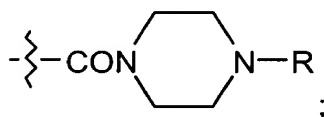
more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

V is selected from the group consisting of t-butyl, adamantyl, -N(alkyl)<sub>2</sub>, -N(aryl)<sub>2</sub>, 2,6,-dimethylphenyl, 2,6-disubstituted phenyl (wherein the substituents are selected from haloge, alkyl or alkoxy), -O-t-butyl, -O-i-propyl and -O-adamantyl;

R<sup>5</sup> is selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocyclyl; wherein the aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

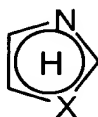
where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

where R<sup>A</sup> and R<sup>B</sup> are independently selected from the group consisting of hydrogen, -R, -COOR, -COR, -SO<sub>2</sub>R, -SOR and -CONR<sup>C</sup>R<sup>D</sup> and



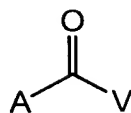
where R<sup>C</sup> is selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

which method comprises reacting a compound of formula (III)



(III)

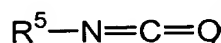
with a compound of formula (IV)



(IV)

wherein A is selected from F, Cl, Br or OC(O)-t-butyl, and wherein V is a sterically hindered group, in a non-protic solvent;

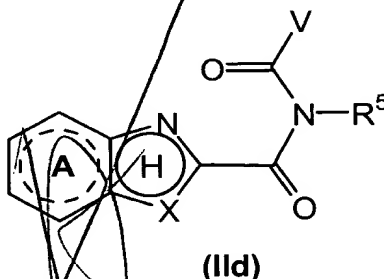
5 and then reacting with a compound of formula (VIII)



(VIII)

wherein  $R^5$  is as previously defined, to yield the compound of formula (Id).

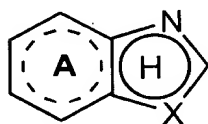
30. A method for preparing compounds of the formula (IId)



(IId)

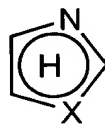
wherein

X is selected from the group consisting of NH,  $NR^A$  and S;



represents a 9 membered ring structure, wherein the five

membered portion of the ring structure -



- is aromatic and the six

15 membered portion of the ring structure -  
unsaturated, or aromatic;



- is saturated, partially



wherein the 5 membered portion of the ring structure is optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, alkyl, alkenyl, halogenated alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent is independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

wherein the 6-membered portion of the ring structure may further optionally containing one to four additional heteroatoms selected from the group consisting of N, O and S;

wherein the 6-membered portion of the ring structure may further be optionally substituted with one to four substituents independently selected from the group consisting of halogen, hydroxy, alkyl, halogenated alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocyclyl, amino, mono-or di-substituted amino, cyano, nitro, -COOR, -COR, -SO<sub>2</sub>R and -CONR<sup>B</sup>R<sup>C</sup>; wherein the amine substituents are independently selected from alkyl, cycloalkyl, aryl or aralkyl; wherein the cycloalkyl, aryl or heterocyclyl may be further optionally substituted with one or more substituent independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

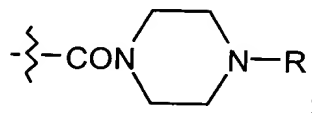
V is selected from the group consisting of t-butyl, adamantyl, -N(alkyl)<sub>2</sub>, -N(aryl)<sub>2</sub>, 2,6-dimethylphenyl, 2,6-disubstituted phenyl (wherein the substituents are selected from halogen, alkyl or alkoxy), -O-t-butyl, -O-i-propyl and -O-adamantyl;

R<sup>5</sup> is selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocyclyl; wherein the aryl, aralkyl or heterocyclyl may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono-or di-substituted amino, cyano or nitro;

where R is selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, adamantyl, norbornyl, fluorinated alkyl and heterocycle; wherein the

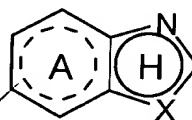
aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono- or di-substituted amino, cyano or nitro;

where  $R^A$  and  $R^B$  are independently selected from the group consisting of hydrogen, -R, -COOR, -COR, -SO<sub>2</sub>R, -SOR and -CONR<sup>C</sup>R<sup>D</sup> and



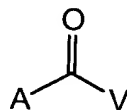
where  $R^C$  is selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, cycloalkyl, fluorinated alkyl and heterocycle; wherein the aryl, aralkyl or heterocycle may be optionally substituted with one or more substituents independently selected from halogen, hydroxy, alkyl, halogenated alkyl, alkoxy, amino, mono- or di-substituted amino, cyano or nitro; or are joined together to form a 4 to 8 membered heterocyclyl ring structure;

which method comprises reacting a compound of formula (VII)



(VII)

with a compound of formula (IV)



(IV)

wherein A is selected from F, Cl, Br or OC(O)-t-butyl, and wherein V is a sterically hindered group, in a non-protic solvent;

and then reacting with a compound of formula (VIII)



(VIII)

wherein  $R^5$  is as previously defined, to yield the compound of formula (IId).